

# STIC Search Report Biotech-Chem Library

## STIC Database Tracking Number 2015

TO: Ben Sackey

Location: 5b31 / 5c18

Art Unit: 1626

Monday, September 18, 2006

Case Serial Number: 10/702149

From: Noble Jarrell

**Location: Biotech-Chem Library** 

**Rem 1B71** 

Phone: 272-2556

Noble.jarrell@uspto.gov

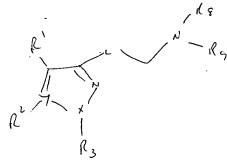
SISSI OF LINDIES			
,,,,,,,			
	•	·	
	,		
	****************		-



# FOR OFFICIAL USE ONLY

ACCESS DB # 201204
PLEASE PRINT CLEARLY

Scientific and Technical Information Center
SEARCH REQUEST FORM
Requester's Full Name:
To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:
Title of Invention: (c ~ ~
Inventors (please provide full names):
Earliest Priority Date:
Search Topic:  Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.
*For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.
- / K &
ρ, \



STAFF USE QNLY	Type of Search	Vendors and cost where applicable			
Searcher: MHC	NA Sequence (#)	STNDialog			
Searcher Phone #:	AA Sequence (#)	Questel/Orbit Lexis/Nexis			
Searcher Location:	Structure (#)	Westlaw WWW/Internet			
Date Searcher Picked Up: 91806	Bibliographic	In-house sequence systems			
Date Completed: 9118106	Litigation	Commercial Oligomer Score/Length Interference SPDI Encode/Transl Other (specify)			
Searcher Prep & Review Time: 15	Fulltext				
Outing Times	Other				

=> b reg
FILE 'REGISTRY' ENTERED AT 14:35:41 ON 18 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 SEP 2006 HIGHEST RN 907180-17-0 DICTIONARY FILE UPDATES: 17 SEP 2006 HIGHEST RN 907180-17-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

Effective September 24, 2006, Concord 3D coordinates will no longer be available. Please contact CAS Customer Care (http://www.cas.org/supp.html) if you have a need for 3D coordinates.

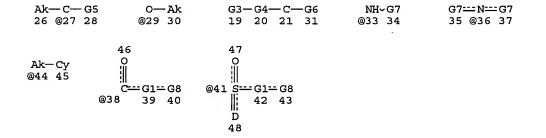
TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> d que sta 116 L10



REP G1=(0-2) C
VAR G2=H/AK/X/CN
VAR G3=1/6
VAR G4=22/24/27
VAR G5=OH/29
VAR G6=NH2/32/33/36
VAR G7=AK/CY/38/41/44
VAR G8=AK/CY
NODE ATTRIBUTES:
NSPEC IS R AT 32
DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 48

STEREO ATTRIBUTES: NONE

L12 1309520 SEA FILE=REGISTRY ABB=ON PLU=ON (NCNC2 OR N2C3)/ES

L14 SCR 1994 AND 1839

L16 347 SEA FILE=REGISTRY SUB=L12 SSS FUL L10 AND L14

100.0% PROCESSED 939920 ITERATIONS

347 ANSWERS

SEARCH TIME: 00.00.33

=> b hcap;d bib abs fhitstr hitrn retable 119 tot FILE 'HCAPLUS' ENTERED AT 14:36:20 ON 18 SEP 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 18 Sep 2006 VOL 145 ISS 13 FILE LAST UPDATED: 17 Sep 2006 (20060917/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

- L19 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
- AN 2005:160837 HCAPLUS
- DN 142:233372
- TI Pharmaceutical composition using a combination of an opioid receptor antagonist and a CB-1 receptor antagonist for the prevention and treatment of addiction in a mammal
- IN Coe, Jotham Wadsworth; Iredale, Philip A.; McHardy, Stanton Furst; McLean, Stafford
- PA Pfizer Inc, USA
- SO U.S. Pat. Appl. Publ., 25 pp.

CODEN: USXXCO

- DT Patent
- LA English

FAN.CNT 1

	PATENT :	NO.			KIN	D	DATE			APPL:	[CAT	ION I	NO.		D	ATE	
						-									-		
ΡI	US20050	4332	7		A1		2005	0224		2004	JS-0	8702	09		20	040	617
	CA25	3628	0		AA		2005	0303		2004	CA-2	5362	80		20	040	809
	WO20050	1864	5		A1		2005	0303		20041	WO-II	B025	96		20	040	809
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,

```
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     EP---1658082
                                 20060524
                                              2004EP-0744231
                           A1
                                                                       20040809
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
PRAI 2003US-496803P
                           P
                                  20030821
     2004WO-IB02596
                           W
                                  20040809
     Pharmaceutical compns. are disclosed for the treatment of alc. or cocaine
AB
     dependence or addiction, tobacco dependence or addiction, reduction of alc.
     withdrawal symptoms or aiding in the cessation or lessening of alc. use or
     substance abuse or other behavioral dependencies including gambling. The
     pharmaceutical compns. are comprised of a therapeutically effective
     combination of an opioid receptor antagonist and a CB-1 receptor
     antagonist and a pharmaceutically acceptable carrier. The method of using
     these compds. is also disclosed.
ΙT
     709033-15-8
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
         (opioid receptor antagonist-CB-1 receptor antagonist combination for
        prevention and treatment of addiction)
RN
     709033-15-8 HCAPLUS
     Ethanone, 1-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-
CN
     yl]-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)
```

$$\bigcap_{N} \bigcap_{C-CH_2-N} \bigcap_{Me}$$

709033-15-8 709033-17-0 709033-18-1 709033-19-2 709033-20-5 709033-21-6

IT

```
709033-22-7 709033-23-8 709033-25-0
     709033-27-2 709033-29-4 709033-30-7
     709033-31-8 709033-32-9 709033-33-0
     709033-34-1 709033-35-2 709033-41-0
     709033-42-1
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (opioid receptor antagonist-CB-1 receptor antagonist combination for
        prevention and treatment of addiction)
L19 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
     2004:515489 HCAPLUS
ΑN
DN
     141:54345
     Preparation of pyrazoles and imidazoles as cannabinoid CB1 receptor
TT
     antagonists.
IN
     Dow, Robert Lee; Hammond, Marlys
PA
     Pfizer Products Inc., USA
     PCT Int. Appl., 102 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
```

```
FAN.CNT 1
     PATENT NO.
                          KIND
                                 DATE
                                              APPLICATION NO.
                                                                      DATE
     -------------
                          ----
                                 -----
                                              -----
ΡI
     WO2004052864
                                 20040624
                                              2003WO-IB05835
                          A1
                                                                      20031203 <--
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
             NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
             TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US2004122074
                           Α1
                                 20040624
                                              2003US-0702149
                                                                      20031104 <--
     CA---2505887
                           AΑ
                                 20040624
                                              2003CA-2505887
                                                                      20031203 <--
     AU2003286315
                           A1
                                 20040630
                                              2003AU-0286315
                                                                      20031203 <--
     EP---1572662
                           A1
                                 20050914
                                              2003EP-0777058
                                                                      20031203 <--
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     BR2003017096
                           Α
                                 20051025
                                              2003BR-0017096
                                                                      20031203 <--
     JP2006514942
                           T2
                                 20060518
                                              2004JP-0558286
                                                                      20031203 <--
PRAI 2002US-432911P
                           Ρ
                                 20021212
     2003WO-IB05835
                           W
                                 20031203
os
     MARPAT 141:54345
GI
```

Ι

Title compds. [I; X = C and Y = N, or X = N and Y = C; R1 = H, alkyl, halo, cyano; R2, R3 = (CH2)nAr; m, n = 0-2; p = 0-3; Ar = (substituted) aryl, heteroaryl; L = CO, CR4OR5; R4 = H, alkyl; R5 = H, alkyl; R5R8, R5R9 = CH2CH2, CH2CO; R6, R7 = H, alkyl; R6R7 = atoms to form a (partially) saturated carbocyclic ring; R8, R9 = H, alkyl, CO(CH2)mR10, SO2(CH2)nR10, (CH2)pR10; R8R9 = atoms to form a 4-8 membered (partially) saturated ring; R10 = (substituted) alkyl, (partially) saturated cycloalkyl, aryl, heteroaryl, heterocyclyl; dotted lines = bonds for form an aromatic ring], were prepared for treatment of obesity, alcoholism, or tobacco abuse (no data). Thus, 2-(benzylisopropylamino)-1-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-yl]ethanone hydrochloride was stirred with NaBH4 in EtOH to give 2-(benzylisopropylamino)-1-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-yl]ethanol.

IT 709033-15-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of pyrazoles and imidazoles as cannabinoid CB1 receptor antagonists)

RN 709033-15-8 HCAPLUS

CN Ethanone, 1-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-yl]-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)

```
\begin{array}{c|c} C1 & 0 & \\ N & C & CH_2 - N \\ \end{array}
```

```
IT
     709033-15-8P 709033-17-0P 709033-18-1P
     709033-19-2P 709033-20-5P 709033-21-6P
     709033-22-7P 709033-23-8P 709033-25-0P
     709033-27-2P 709033-29-4P 709033-30-7P
     709033-31-8P 709033-32-9P 709033-33-0P
     709033-34-1P 709033-35-2P 709033-41-0P
     709033-42-1P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (claimed compound; preparation of pyrazoles and imidazoles as cannabinoid CB1
        receptor antagonists)
ΙT
     709033-46-5P 709033-47-6P 709033-48-7P
     709033-50-1P 709033-52-3P 709033-54-5P
     709033-56-7P 709033-58-9P 709033-60-3P
     709033-62-5P 709033-63-6P 709033-66-9P
     709033-70-5P 709033-74-9P 709033-76-1P
     709033-78-3P 709033-80-7P 709033-82-9P
     709033-84-1P 709033-86-3P 709033-88-5P
     709033-89-6P 709033-90-9P 709033-91-0P
     709033-92-1P 709033-93-2P 709033-94-3P
     709033-95-4P 709033-96-5P 709033-97-6P
     709033-98-7P 709033-99-8P 709034-00-4P
     709034-01-5P 709034-02-6P 709034-03-7P
     709034-04-8P 709034-05-9P 709034-06-0P
     709034-07-1P 709034-08-2P 709034-09-3P
     709034-10-6P 709034-11-7P 709034-12-8P
     709034-13-9P 709034-14-0P 709034-15-1P
     709034-16-2P 709034-17-3P 709034-18-4P
     709034-19-5P 709034-20-8P 709034-21-9P
     709034-22-0P 709034-23-1P 709034-24-2P
     709034-25-3P 709034-26-4P 709034-27-5P
     709034-28-6P 709034-29-7P 709034-30-0P
     709034-31-1P 709034-32-2P 709034-33-3P
     709034-34-4P 709034-35-5P 709034-36-6P
     709034-37-7P 709034-38-8P 709034-39-9P
     709034-40-2P 709034-41-3P 709034-42-4P
     709034-44-6P 709034-45-7P 709034-46-8P
     709034-47-9P 709034-48-0P 709034-49-1P
    709034-50-4P 709034-51-5P 709034-52-6P
    709034-53-7P 709034-54-8P 709034-55-9P
    709034-56-0P 709034-57-1P 709034-58-2P
     709034-59-3P 709034-60-6P 709034-61-7P
     709034-62-8P 709034-63-9P 709034-64-0P
    709034-65-1P 709034-66-2P 709034-67-3P
    709034-68-4P 709034-69-5P 709034-70-8P
    709034-71-9P 709034-72-0P 709034-73-1P
     709034-74-2P 709034-75-3P 709034-76-4P
    709034-77-5P 709034-78-6P 709034-79-7P
    709034-80-0P 709034-81-1P 709034-82-2P
    709034-83-3P 709034-84-4P 709034-85-5P
    709034-86-6P 709034-87-7P 709034-88-8P
```

```
709034-89-9P 709034-90-2P 709034-91-3P
     709034-92-4P 709034-93-5P 709034-94-6P
     709034-95-7P 709034-96-8P 709034-97-9P
     709034-98-0P 709034-99-1P 709035-00-7P
     709035-01-8P 709035-02-9P 709035-03-0P
     709035-04-1P 709035-05-2P 709035-06-3P
     709035-07-4P 709035-08-5P 709035-09-6P
     709035-10-9P 709035-11-0P 709035-12-1P
     709035-13-2P 709035-14-3P 709035-15-4P
     709035-16-5P 709035-17-6P 709035-18-7P
     709035-19-8P 709035-20-1P 709035-21-2P
     709035-22-3P 709035-23-4P 709035-24-5P
     709035-25-6P 709035-26-7P 709035-27-8P
     709035-28-9P 709035-29-0P 709035-30-3P
     709035-31-4P 709035-32-5P 709035-33-6P
     709035-34-7P 709035-35-8P 709035-36-9P
     709035-37-0P 709035-38-1P 709035-39-2P
     709035-40-5P 709035-41-6P 709035-42-7P
     709035-43-8P 709035-44-9P 709035-45-0P
     709035-46-1P 709035-47-2P 709035-48-3P
     709035-49-4P 709035-50-7P 709035-51-8P
     709035-52-9P 709035-53-0P 709035-54-1P
     709035-55-2P 709035-56-3P 709035-57-4P
     709035-58-5P 709035-59-6P 709035-60-9P
     709035-61-0P 709035-62-1P 709035-63-2P
     709035-64-3P 709035-65-4P 709035-66-5P
     709035-67-6P 709035-68-7P 709035-69-8P
     709035-70-1P 709035-71-2P 709035-72-3P
     709035-73-4P 709035-74-5P 709035-75-6P
     709035-76-7P 709035-77-8P 709035-78-9P
     709035-79-0P 709035-80-3P 709035-81-4P
     709035-82-5P 709035-83-6P 709035-84-7P
     709035-85-8P 709035-86-9P 709035-87-0P
     709035-88-1P 709035-89-2P 709035-90-5P
     709035-92-7P 709035-94-9P 709035-95-0P
     709035-96-1P 709035-97-2P 709035-98-3P
     709035-99-4P 709036-00-0P 709036-01-1P
     709036-02-2P 709036-03-3P 709036-04-4P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of pyrazoles and imidazoles as cannabinoid CB1 receptor
        antagonists)
IT
     709036-05-5P 709036-06-6P 709036-07-7P
     709036-08-8P 709036-10-2P 709036-12-4P
     709036-15-7P 709036-18-0P 709036-21-5P
     709036-24-8P 709036-26-0P 709036-28-2P
     709036-31-7P 709036-33-9P 709036-35-1P
     709036-37-3P 709036-38-4P 709036-39-5P
     709036-40-8P 709036-41-9P 709036-42-0P
     709036-43-1P 709036-44-2P 709036-45-3P
     709036-46-4P 709036-47-5P 709036-48-6P
     709036-49-7P 709036-50-0P 709036-51-1P
     709036-52-2P 709036-53-3P 709036-54-4P
     709036-68-0P 709036-69-1P 709036-70-4P
     709036-71-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of pyrazoles and imidazoles as cannabinoid CB1 receptor
        antagonists)
IT
     709036-72-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of pyrazoles and imidazoles as cannabinoid CB1 receptor
        antagonists)
```

### => d bib abs hitstr retable 120 tot

- L20 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
- AN 1989:135273 HCAPLUS
- DN 110:135273
- TI Preparation of substituted imidazolylalkylpiperazines and -diazepines as pharmaceuticals
- IN Pascal, Jean Claude; Lee, Chi Ho; Alps, Brian J.; Pinhas, Henri; Whiting,
  Roger L.; Beranger, Serge
- PA Syntex Pharmaceuticals Ltd., UK
- SO Eur. Pat. Appl., 44 pp.
  - CODEN: EPXXDW
- DT Patent
- LA English

	_	
FAN	CNT 1	

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP289227	A1	19881102	1988EP-0303646	19880422
	EP289227	B1	19920408		
	R: AT, BE, CH,			GR, IT, LI, LU, NL, SE	
	US4829065	A	19890509	1987US-0042181	19870424
	DK8802204	A	19881025	1988DK-0002204	19880422
	FI8801900	A	19881025	1988FI-0001900	19880422
	FI93104	В	19941115		
	FI93104	C	19950227		
	NO8801789	A	19881025	1988NO-0001789	19880422
	NO170018	В	19920525		
	NO170018	C	19920902		
	AU8815102	A1	19881027	1988AU-0015102	19880422
	AU614282	B2	19910829		
	JP63280081	A2	19881117	1988JP-0101194	19880422
	JP07037451	B4	19950426		
	HU46690	A2	19881128	1988HU-0002048	19880422
	HU199456	В	19900228	•	
	ZA8802878	A	19891227	1988ZA-0002878	19880422
	AT74594	Ė	19920415	1988AT-0303646	19880422
	IL86149	A1	19930114	1988IL-0086149	19880422
	CA1320199	A1	19930713	1988CA-0564939	19880422
	ES2038288	T3	19930716	1988ES-0303646	19880422
	US5043447	A	19910827	1988US-0260969	19881021
	US5142052	A	19920825	1988US-0260965	19881021
	US4935417	A	19900619	1989US-0313656	19890221
	US5010075	Α	19910423	1990US-0505379	19900406
	US5091428	Α	19920225	1991US-0652141	19910207
	US5276034	Α	19940104	1991US-0688193	19910419
	US5252736	A	19931012	1991US-0789230	19911107
	US5514800	A	19960507	1993US-0124518	19930920
PRAI	1987US-0042181	A	19870424		
	1988EP-0303646	Α	19880422		
	1988US-0260969	<b>A3</b>	19881021		
	1989US-0313656	A3	19890221		
	1990US-0505379	A3	19900406		
	1991US-0652141	A1	19910207		
	1991US-0688193	A3	19910419		
os	MARPAT 110:135273				

GΙ

$$R^{2}$$
 $CHR^{3}$   $(CH_{2})_{n}N$ 
 $(CH_{2})_{m}$ 
 $(CH_{2})_{m}$ 

Title compds. I (R1,R4,R5 = aryl; R2 = aryl, alkyl, H; R3 = alkyl, OH, H; m = 2, 3; n = 0-2, or n = 0, 2 when R3 = OH; q = 0-3) useful as Ca entry blockers (no data) are prepared To a refluxing solution of N-(diphenylmethyl)piperazine and NaOH in EtOH-H2O (3:2) was added a solution of 2-(4-methylphenyl)-4-chloromethyl-5-methyl-1H-imidazole.HCl (preparation given) in EtOH-H2O (3:2) to give 70% I (R1 = p-MeC6H4; R2 = Me; R3 = H; R4 = R5 = Ph; m = 2; n = q = 0) (II). II.3HCl at 5 mg/kg p.o. showed .apprx.5.7, .apprx.9.5, and .apprx.11.8 mL urine collected after 1, 3, and 6 h, resp. of administration in normotensive rats, vs. .apprx.3.3, .apprx.7.3, and .apprx.5.6 mL for control, resp. and no significant kaliuretic effects were observed A tablet was formulated containing I 25, cornstarch 20, lactose 153, and Mg stearate 2 mg.

RN 119514-74-8 HCAPLUS

CN Ethanone, 2-[4-(diphenylmethyl)-1-piperazinyl]-1-(5-methyl-2-phenyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 119514-82-8 HCAPLUS

N 1-Piperazineethanol, 4-(diphenylmethyl)-α-(5-methyl-2-phenyl-1Himidazol-4-yl)-, trihydrochloride (9CI) (CA INDEX NAME)

#### ●3 HCl

```
L20 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN
      1988:454791 HCAPLUS
 DN
      109:54791
      Preparation of 1-[(5-methyl-2-(pyridyl)-1H-imidazol-4-yl]-2-[(4-
 ΤI
      substituted) -1-piperazinyl] ethanols as antihypertensives
      Hofmann, Corris M.; Newman, Howard; Tomcufcik, Andrew S.
 TN
 PΑ
      American Cyanamid Co., USA
 SO
      U.S., 8 pp.
      CODEN: USXXAM
 DT
      Patent
      English
 LA
 FAN.CNT 1
      PATENT NO.
                          KIND
                                 DATE
                                             APPLICATION NO.
                                                                    DATE
                                 -----
                                             -----
· PI
      US---4732895
                           Α
                                 19880322
                                             1986US-0858633
                                                                    19860502
 PRAI 1986US-0858633
                                 19860502
      CASREACT 109:54791; MARPAT 109:54791
 OS
 GΙ
```

The title compds. [I; R1 = (substituted) Ph, heterocyclyl; R2 = H, alkyl; R3 = H, Ph, alkyl], useful as antihypertensives, are prepared I [R1 = Ph, R2 = 5-Me (3-pyridyl), R3 = H] (II) was prepared via NaBH4 reduction of the corresponding ethanone derivative, which was obtained via condensation of 2-bromo-1-[5-methyl-2-(5-methyl-3-pyridyl)-1H-imidazol-4-yl]-1-ethanone with 1-phenylpiperazine. II at 25 mg/kg orally reduced mean arterial blood pressure in spontaneously hypertensive rats from 160 mmHg to 88 mmHg.

TT 115328-37-5P 115328-38-6P 115328-39-7P 115328-40-0P 115328-41-1P 115328-42-2P 115328-43-3P 115328-44-4P 115328-45-5P 115328-46-6P 115328-47-7P 115328-48-8P 115328-49-9P 115328-50-2P 115328-53-5P

115342-16-0P 115342-17-1P 115342-18-2P

115361-61-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antihypertensive)

RN 115328-37-5 HCAPLUS

CN1-Piperazineethanol,  $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-4phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & OH \\ N & CH-CH_2-N \\ N & Me \end{array}$$

115328-38-6 HCAPLUS RN

1-Piperazineethanol, 4-(4-fluorophenyl)- $\alpha$ -[5-methyl-2-(3-pyridinyl)-CN 1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{OH} \\ N & \text{CH-CH}_2 \\ \end{array}$$
 Me

RN 115328-39-7 HCAPLUS

CN 1-Piperazineethanol,  $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 115328-40-0 HCAPLUS

CN 1-Piperazineethanol, 4-(2-methoxyphenyl)- $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN115328-41-1 HCAPLUS

CN1-Piperazineethanol, 4-(4-chlorophenyl)- $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115328-42-2 HCAPLUS

CN 1-Piperazineethanol, 4-(4-methoxyphenyl)-α-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & OH \\ N & CH - CH_2 - N \\ N & Me \end{array}$$

RN 115328-43-3 HCAPLUS

CN 1-Piperazineethanol,  $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-4-(2-thiazolyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & OH \\ N & CH-CH_2-N \\ Me & S \end{array}$$

RN 115328-44-4 HCAPLUS

CN 1-Piperazineethanol, 4-(2-chlorophenyl)-α-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115328-45-5 HCAPLUS

CN 1-Piperazineethanol,  $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-4-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & OH \\ N & CH-CH_2-N \\ N & N \end{array}$$

RN 115328-46-6 HCAPLUS

CN 1-Piperazineethanol, 4-(2-methylphenyl)- $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115328-47-7 HCAPLUS

CN 1-Piperazineethanol, 4-(3-methylphenyl)- $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & OH \\ N & CH-CH_2-N \\ Me & \\ \end{array}$$

RN 115328-48-8 HCAPLUS

CN 1-Piperazineethanol, 4-(4-fluorophenyl)- $\alpha$ -[5-methyl-2-(2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115328-49-9 HCAPLUS

CN 1-Piperazineethanol, 4-(4-fluorophenyl)- $\alpha$ -[5-methyl-2-(4-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\bigcap_{N} \bigcap_{N} \bigcap_{CH-CH_2-N} \bigcap_{N} \bigcap_{N} \bigcap_{Me} \bigcap_{N} \bigcap_{N} \bigcap_{N} \bigcap_{Me} \bigcap_{N} \bigcap_{N} \bigcap_{Me} \bigcap_{N} \bigcap_{Me} \bigcap_{N} \bigcap_{Me} \bigcap_{N} \bigcap_{Me} \bigcap_{N} \bigcap_{Me} \bigcap_{Me} \bigcap_{N} \bigcap_{Me} \bigcap_{Me}$$

RN 115328-50-2 HCAPLUS

CN 1-Piperazineethanol, 4-(4-fluorophenyl)- $\alpha$ -methyl- $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115328-53-5 HCAPLUS

CN 1-Piperazineethanol,  $4-(4-fluorophenyl)-\alpha-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-, (R*,R*)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)$ 

CM 1

CRN 115328-38-6 CMF C21 H24 F N5 O

$$\stackrel{\text{N}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{CH-CH}_2}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{CH-CH}_2}{\longrightarrow}} \stackrel{\text{N}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}}$$

CM 2

\*CRN 133-37-9 CMF C4 H6 O6

Relative stereochemistry.

RN 115342-16-0 HCAPLUS

CN 1-Piperazineethanol, 4-(2-chloro-10,11-dihydrodibenz[b,f][1,4]oxazepin-11-yl)- $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115342-17-1 HCAPLUS

CN 1-Piperazineethanol, 4-(3-chlorophenyl)- $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115342-18-2 HCAPLUS

CN 1-Piperazineethanol, 4-(4-fluorophenyl)-α-[5-methyl-2-(3-methyl-4-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\stackrel{\text{Me}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{CH}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{CH}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{CH}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{N}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}$$

RN 115361-61-0 HCAPLUS

CN 1-Piperazineethanol, 4-(4-fluorophenyl)- $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-, (2R,3R)-2,3-dihydroxybutanedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 115328-38-6 CMF C21 H24 F N5 O

$$\begin{array}{c|c} N & H & OH \\ N & CH-CH_2-N \\ N & Me \end{array}$$

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & &$$

RN 115328-55-7 HCAPLUS
CN Ethanone, 2-[4-(4-fluorophenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & 0 \\ N & C \\ N & C \end{array}$$
 Me

RN 115328-56-8 HCAPLUS
CN Ethanone, 1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-2-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & O \\ N & C & CH_2 & N \\ \end{array}$$
 Me

RN 115328-57-9 HCAPLUS

CN Ethanone, 2-[4-(2-methoxyphenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & O \\ N & C & CH_2 & N \\ N & MeO \end{array}$$

RN 115328-58-0 HCAPLUS

CN Ethanone, 2-[4-(4-methoxyphenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115328-59-1 HCAPLUS

CN Ethanone, 2-[4-(4-chlorophenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & O \\ \hline N & C & CH_2 & N \\ \hline \end{array}$$
 Me

RN 115328-60-4 HCAPLUS

CN Ethanone, 2-[4-(3-chlorophenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115328-61-5 HCAPLUS

CN Ethanone, 2-[4-(2-chloro-10,11-dihydrodibenz[b,f][1,4]oxazepin-11-yl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA

INDEX NAME)

RN 115328-62-6 HCAPLUS

CN Ethanone, 2-[4-(2-chlorophenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & O \\ N & N & C \\ N & Me \end{array}$$

RN 115328-63-7 HCAPLUS

CN Ethanone, 1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-2-[4-(2-pyrimidinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 115328-64-8 HCAPLUS

CN Ethanone, 2-[4-(4-fluorophenyl)-1-piperazinyl]-1-[5-methyl-2-(3-methyl-4-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115328-65-9 HCAPLUS

CN Ethanone, 2-[4-(4-fluorophenyl)-1-piperazinyl]-1-[5-methyl-2-(2-pyridinyl)-1+imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & \\ & &$$

RN 115328-66-0 HCAPLUS

CN Ethanone, 2-[4-(4-fluorophenyl)-1-piperazinyl]-1-[5-methyl-2-(4-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\bigcap_{N} \bigcap_{N} \bigcap_{C-CH_2-N} \bigcap_{N} \bigcap_{N} \bigcap_{C-CH_2-N} \bigcap_{N} \bigcap_{N} \bigcap_{C-CH_2-N} \bigcap_{C-CH_2-N} \bigcap_{N} \bigcap_{C-CH_2-N} \bigcap_{N} \bigcap_{C-CH_2-N} \bigcap_{C-CH_2-N} \bigcap_{N} \bigcap_{C-CH_2-N} \bigcap_{C-CH_2$$

RN 115328-67-1 HCAPLUS

CN Ethanone, 2-[4-(2-methylphenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1+-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & O \\ \hline N & C - CH_2 - N \\ \hline Me & Me \\ \end{array}$$

RN 115342-19-3 HCAPLUS

CN Ethanone, 1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-2-[4-(2-thiazolyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 115342-20-6 HCAPLUS

CN Ethanone, 2-[4-(3-methylphenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & 0 & \\ N & 0 & \\ N & C - CH_2 - N & \\ N & Me & \\ \end{array}$$

```
=> b uspatall
FILE 'USPATFULL' ENTERED AT 14:37:59 ON 18 SEP 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
FILE 'USPAT2' ENTERED AT 14:37:59 ON 18 SEP 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
=> d bib abs hitrn fhitstr 121 2
   ANSWER 2 OF 12 USPATFULL on STN
       2004:159270 USPATFULL
AN
ΤI
       Cannabinoid receptor ligands and uses thereof
       Dow, Robert L., Groton, CT, UNITED STATES
IN
       Hammond, Marlys, Blue Bell, PA, UNITED STATES
PA
       Pfizer Inc. (U.S. corporation)
ΡI
       US2004122074
                          A1
                               20040624
       2003US-0702149
AΙ
                          A1
                               20031104 (10)
PRAI
       2002US-432911P
                           20021212 (60)
DT
       Utility
FS
       APPLICATION
LREP
       PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON,
       CT, 06340
CLMN
       Number of Claims: 23
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 3170
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Compounds of Formula (I) that act as cannabinoid receptor ligands and
AB
       their uses in the treatment diseases, conditions and/or disorders
       modulated by cannabinoid receptor antagonists in animals are described
       herein.
                 ##STR1##
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     709033-15-8P 709033-17-0P 709033-18-1P
      709033-19-2P 709033-20-5P 709033-21-6P
      709033-22-7P 709033-23-8P 709033-25-0P
      709033-27-2P 709033-29-4P 709033-30-7P
      709033-31-8P 709033-32-9P 709033-33-0P
      709033-34-1P 709033-35-2P 709033-41-0P
      709033-42-1P
        (claimed compound; preparation of pyrazoles and imidazoles as cannabinoid CB1
        receptor antagonists)
IT
     709033-46-5P 709033-47-6P 709033-48-7P
      709033-50-1P 709033-52-3P 709033-54-5P
      709033-56-7P 709033-58-9P 709033-60-3P
      709033-62-5P 709033-63-6P 709033-66-9P
      709033-70-5P 709033-74-9P 709033-76-1P
      709033-78-3P 709033-80-7P 709033-82-9P
      709033-84-1P 709033-86-3P 709033-88-5P
      709033-89-6P 709033-90-9P 709033-91-0P
      709033-92-1P 709033-93-2P 709033-94-3P
      709033-95-4P 709033-96-5P 709033-97-6P
```

```
709033-98-7P 709033-99-8P 709034-00-4P
709034-01-5P 709034-02-6P 709034-03-7P
709034-04-8P 709034-05-9P 709034-06-0P
709034-07-1P 709034-08-2P 709034-09-3P
709034-10-6P 709034-11-7P 709034-12-8P
709034-13-9P 709034-14-0P 709034-15-1P
709034-16-2P 709034-17-3P 709034-18-4P
709034-19-5P 709034-20-8P 709034-21-9P
709034-22-0P 709034-23-1P 709034-24-2P
709034-25-3P 709034-26-4P 709034-27-5P
709034-28-6P 709034-29-7P 709034-30-0P
709034-31-1P 709034-32-2P 709034-33-3P
709034-34-4P 709034-35-5P 709034-36-6P
709034-37-7P 709034-38-8P 709034-39-9P
709034-40-2P 709034-41-3P 709034-42-4P
709034-44-6P 709034-45-7P 709034-46-8P
709034-47-9P 709034-48-0P 709034-49-1P
709034-50-4P 709034-51-5P 709034-52-6P
709034-53-7P 709034-54-8P 709034-55-9P
709034-56-0P 709034-57-1P 709034-58-2P
709034-59-3P 709034-60-6P 709034-61-7P
709034-62-8P 709034-63-9P 709034-64-0P
709034-65-1P 709034-66-2P 709034-67-3P
709034-68-4P 709034-69-5P 709034-70-8P
709034-71-9P 709034-72-0P 709034-73-1P
709034-74-2P 709034-75-3P 709034-76-4P
709034-77-5P 709034-78-6P 709034-79-7P
709034-80-0P 709034-81-1P 709034-82-2P
709034-83-3P 709034-84-4P 709034-85-5P
709034-86-6P 709034-87-7P 709034-88-8P
709034-89-9P 709034-90-2P 709034-91-3P
709034-92-4P 709034-93-5P 709034-94-6P
709034-95-7P 709034-96-8P 709034-97-9P
709034-98-0P 709034-99-1P 709035-00-7P
709035-01-8P 709035-02-9P 709035-03-0P
709035-04-1P 709035-05-2P 709035-06-3P
709035-07-4P 709035-08-5P 709035-09-6P
709035-10-9P 709035-11-0P 709035-12-1P
709035-13-2P 709035-14-3P 709035-15-4P
709035-16-5P 709035-17-6P 709035-18-7P
709035-19-8P 709035-20-1P 709035-21-2P
709035-22-3P 709035-23-4P 709035-24-5P
709035-25-6P 709035-26-7P 709035-27-8P
709035-28-9P 709035-29-0P 709035-30-3P
709035-31-4P 709035-32-5P 709035-33-6P
709035-34-7P 709035-35-8P 709035-36-9P
709035-37-0P 709035-38-1P 709035-39-2P
709035-40-5P 709035-41-6P 709035-42-7P
709035-43-8P 709035-44-9P 709035-45-0P
709035-46-1P 709035-47-2P 709035-48-3P
709035-49-4P 709035-50-7P 709035-51-8P
709035-52-9P 709035-53-0P 709035-54-1P
709035-55-2P 709035-56-3P 709035-57-4P
709035-58-5P 709035-59-6P 709035-60-9P
709035-61-0P 709035-62-1P 709035-63-2P
709035-64-3P 709035-65-4P 709035-66-5P
709035-67-6P 709035-68-7P 709035-69-8P
709035-70-1P 709035-71-2P 709035-72-3P
709035-73-4P 709035-74-5P 709035-75-6P
709035-76-7P 709035-77-8P 709035-78-9P
709035-79-0P 709035-80-3P 709035-81-4P
709035-82-5P 709035-83-6P 709035-84-7P
709035-85-8P 709035-86-9P 709035-87-0P
709035-88-1P 709035-89-2P 709035-90-5P
709035-92-7P 709035-94-9P 709035-95-0P
709035-96-1P 709035-97-2P 709035-98-3P
```

```
709035-99-4P 709036-00-0P 709036-01-1P
      709036-02-2P 709036-03-3P
        (preparation of pyrazoles and imidazoles as cannabinoid CB1 receptor
        antagonists)
ΙT
     709036-04-4P 709036-05-5P 709036-06-6P
      709036-07-7P 709036-08-8P 709036-10-2P
      709036-12-4P 709036-15-7P 709036-18-0P
      709036-21-5P 709036-24-8P 709036-26-0P
      709036-28-2P 709036-31-7P 709036-33-9P
      709036-35-1P 709036-37-3P 709036-38-4P
      709036-39-5P 709036-40-8P 709036-41-9P
      709036-42-0P 709036-43-1P 709036-44-2P
      709036-45-3P 709036-46-4P 709036-47-5P
      709036-48-6P 709036-49-7P 709036-50-0P
      709036-51-1P 709036-52-2P 709036-53-3P
      709036-54-4P 709036-68-0P 709036-69-1P
      709036-70-4P 709036-71-5P
        (preparation of pyrazoles and imidazoles as cannabinoid CB1 receptor
        antagonists)
IT
     709036-72-6
        (preparation of pyrazoles and imidazoles as cannabinoid CB1 receptor
        antagonists)
IT
    709033-15-8P
        (claimed compound; preparation of pyrazoles and imidazoles as cannabinoid CB1
        receptor antagonists)
     709033-15-8 USPATFULL
RN
     Ethanone, 1-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-
CN
       yl]-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)
```

#### => d bib abs hitstr 121 1 3-12 L21 ANSWER 1 OF 12 USPATFULL on STN AN 2005:50527 USPATFULL ΤI Pharmaceutical composition for the prevention and treatment of addiction in a mammal IN Coe, Jotham Wadsworth, Niantic, CT, UNITED STATES Iredale, Philip A., Clinton, CT, UNITED STATES McHardy, Stanton Furst, Coventry, RI, UNITED STATES McLean, Stafford, Stonington, CT, UNITED STATES PΑ Pfizer Inc (U.S. corporation) ΡI US2005043327 A1 20050224 ΑI 2004US-0870209 **A1** 20040617 (10) 2003US-496803P 20030821 (60) PRAI DT Utility FS APPLICATION LREP PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612 CLMN Number of Claims: 23 ECL Exemplary Claim: 1 DRWN No Drawings

LN.CNT 2088

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pharmaceutical compositions are disclosed for the treatment of alcohol or cocaine dependence or addiction, tobacco dependence or addiction, reduction of alcohol withdrawal symptoms or aiding in the cessation or lessening of alcohol use or substance abuse or other behavioral dependencies including gambling. The pharmaceutical compositions are comprised of a therapeutically effective combination of an opioid receptor antagonist and a CB-1 receptor antagonist and a pharmaceutically acceptable carrier. The method of using these compounds is also disclosed.

RN 709033-17-0 USPATFULL CN Ethanone, 1-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3yl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & 0 & \\ N & C - CH_2 - N \end{array}$$

RN 709033-18-1 USPATFULL
CN Piperazine, 1-[2-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1Hpyrazol-3-yl]-2-oxoethyl]-4-[(1-methyl-1H-pyrrol-2-yl)carbonyl]- (9CI)
(CA INDEX NAME)

RN

709033-19-2 USPATFULL
Piperazine, 1-[2-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-CNpyrazol-3-yl]-2-oxoethyl]-4-[(1-methylcyclopropyl)carbonyl]- (9CI)

$$\begin{array}{c|c} C1 & O & O & O \\ N & C & CH_2 & N & C \\ \hline \end{array}$$

RN709033-20-5 USPATFULL

Acetamide, N-[1-[2-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-CNpyrazol-3-yl]-2-oxoethyl]-4-piperidinyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

709033-21-6 USPATFULL RN

Ethanone, 1-[5-(4-chlorophenyl)-1-(2-fluorophenyl)-4-methyl-1H-pyrazol-3-CN yl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

$$\bigcap_{N} \bigcap_{C-CH_2-N} \bigcap_{C-CH_2-$$

RN 709033-22-7 USPATFULL

CN Ethanone, 1-[5-(4-chlorophenyl)-1-(2-fluorophenyl)-4-methyl-1H-pyrazol-3-yl]-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)

RN 709033-23-8 USPATFULL

CN Piperazine, 1-[2-[5-(4-chlorophenyl)-1-(2-fluorophenyl)-4-methyl-1H-pyrazol-3-yl]-2-oxoethyl]-4-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

RN 709033-25-0 USPATFULL

CN Ethanone, 1-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-yl]-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

$$N$$
—  $CH_2$ —  $C$ 1

Me

 $C1$ 
 $N$ 
 $C1$ 
 $N$ 
 $C1$ 

RN 709033-27-2 USPATFULL

CN Ethanone, 1-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-yl]-2-(tetrahydro-1,4-oxazepin-4(5H)-yl)- (9CI) (CA INDEX NAME)

$$\bigcap_{N \longrightarrow CH_2-C} \bigcap_{N \longrightarrow N} \bigcap_{N \longrightarrow CH_2-C} \bigcap_{N \longrightarrow CH_2-C} \bigcap_{N \longrightarrow N} \bigcap_{N \longrightarrow CH_2-C} \bigcap_{N \longrightarrow CH_2-C} \bigcap_{N \longrightarrow N} \bigcap_{N \longrightarrow CH_2-C} \bigcap_{N \longrightarrow N} \bigcap_{N \longrightarrow CH_2-C} \bigcap_{N \longrightarrow CH$$

RN 709033-29-4 USPATFULL

CN Ethanone, 1-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-yl]-2-(1-oxa-8-azaspiro[4.5]dec-8-yl)- (9CI) (CA INDEX NAME)

RN 709033-30-7 USPATFULL

CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methylα-[[(1-methylethyl)(phenylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ i\text{-Pr-N-CH}_2\text{-CH} & & \text{Me} \\ & & & \\ \text{Ph-CH}_2 & & \text{OH} \end{array}$$

RN 709033-31-8 USPATFULL

CN 1-Piperidineethanol,  $\alpha$ -[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-yl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 709033-32-9 USPATFULL

CN 4-Piperidinecarboxamide, 1-[2-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-yl]-2-hydroxyethyl]-4-[(1-methylethyl)amino]-(9CI) (CA INDEX NAME)

RN 709033-33-0 USPATFULL

CN 1-Piperidineethanol, α-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-yl]-3,3-dimethyl- (9CI) (CA INDEX NAME)

RN 709033-34-1 USPATFULL

CN 1-Piperidineethanol,  $\alpha$ -[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

RN 709033-35-2 USPATFULL

CN 4-Morpholineethanol, α-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

RN 709033-41-0 USPATFULL

CN Ethanone, 1-[1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-1H-imidazol-4-yl]-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)

709033-42-1 USPATFULL RN

CNEthanone, 1-[1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-1Himidazol-4-yl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

L21 ANSWER 3 OF 12 USPATFULL on STN

96:39021 USPATFULL AN

TI Substituted imidazolyl-alkyl-piperazine and -diazepine derivatives

IN Pascal, Jean C., Cachan, France

Lee, Chi-Ho, Palo Alto, CA, United States

Alps, Brian J., Linlithgow, Scotland Pinhas, Henri, Paris, France

Whiting, Roger L., Los Altos, CA, United States

MacFarlane, Calum B., Linlithgow, Scotland

Beranger, Serge, Bretigny-Sur-Cedres, France

Syntex Pharmaceuticals, Ltd., Maidenhead, England (non-U.S. corporation) PA

US---5514800 19960507 PΙ

ΑI 1993US-0124518 19930920 (8)

RLT Division of Ser. No. 1991US-0688193, filed on 19 Apr 1991, now patented, Pat. No. US---5276034 which is a division of Ser. No. 1988US-0260969, filed on 21 Oct 1988, now patented, Pat. No. US---5043447 which is a continuation-in-part of Ser. No. 1987US-0042181, filed on 24 Apr 1987, now patented, Pat. No. US---4829065

Utility

FS Granted

DT

EXNAM Primary Examiner: Tsang, Cecilia

LREP Heller Ehrman White & McAuliffe

CLMN Number of Claims: 10

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 2247

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Substituted imidazolyl-alkyl-piperazine and diazepine derivatives of Formula A: ##STR1## wherein R.sup.1, R.sup.2, R.sup.3, R.sup.4, R.sup.5, m, n and q are defined as in the specification, and the pharmaceutically acceptable salts thereof. The compounds have calcium entry blocking activity with selectivity for cerebral blood vessels, and have protective activity against some of the deleterious effects resultant

upon cerebral ischemia.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 119514-74-8P 119514-82-8P

(preparation of, as pharmaceutical)

RN119514-74-8 USPATFULL

CN Ethanone, 2-[4-(diphenylmethyl)-1-piperazinyl]-1-(5-methyl-2-phenyl-1Himidazol-4-yl) - (9CI) (CA INDEX NAME)

119514-82-8 USPATFULL RN

1-Piperazineethanol, 4-(diphenylmethyl)- $\alpha$ -(5-methyl-2-phenyl-1H-CN imidazol-4-yl)-, trihydrochloride (9CI) (CA INDEX NAME)

## 3 HCl

L21 ANSWER 4 OF 12 USPATFULL on STN

94:1427 USPATFULL AN

TI Substituted imidazolyl-alkyl-piperazine and -diazepine derivatives

IN Pascal, Jean C., Cachan, France

Lee, Chi-Ho, Palo Alto, CA, United States Alps, Brian J., Linlithgow, Scotland Pinhas, Henri, Paris, France

Whiting, Roger L., Los Altos, CA, United States

MacFarlane, Calum B., Linlithgow, Scotland

Beranger, Serge, Bretigny-sur-Cedres, France

Dow, Robert J., Edinburgh, Scotland

PA Syntex Pharmaceutical Ltd., Maidenhead, England (non-U.S. corporation)

US---5276034 ΡI 19940104

AΙ 1991US-0688193 19910419 (7)

Division of Ser. No. 1988US-0260969, filed on 21 Oct 1988, now patented, RLI Pat. No. US---5043447 which is a continuation-in-part of Ser. No.

1987US-0042181, filed on 24 Apr 1987, now patented, Pat. No.

US---4829065

DT Utility FS Granted

EXNAM

Primary Examiner: Tsang, Cecilia Lowin, David A., Moran, Tom M., Desjardins, Cathleen M. LREP

Number of Claims: 16 CLMN ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 2218

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Substituted imidazolyl-alkyl-piperazine and diazepine derivatives and AB the pharmaceutically acceptable salts thereof are useful for treating mammals having any of a variety of disease states. These disease states include: 1) diseases treated by direct neuronal protection, including focal and global ischemia, spinal injuries, and head trauma, and neurological diseases including Alzheimer's and Huntington's chorea; 2) uraemic and hyponatraemic encephalopathy; 3) diseases treated by diuresis; 4) diseases treated by calcium channel antagonism, including cardiovascular diseases (i.e. hypertension, angina, stable and unstable angina, Prinzmetal angina, arrhythmia, thrombosis, embolism, and congestive heart failure including chronic or acute cardiac failure), diseases characterized by ischemia of lower legs due to peripheral vascular disease (e.g., intermittent claudication), diseases characterized by spasms of smooth muscle (i.e., spasms of the ureter, spasms of the bladder, uterine cramps, and irritable bowel syndrome), and prevention of vasoconstriction and/or ischemic tissue damage during a surgical procedure (i.e., bypass grafts, angiography, angioplasty, organ preservation during transplant, hypertensive crisis or post operative hypertension).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 119514-74-8P 119514-82-8P

(preparation of, as pharmaceutical)

RN 119514-74-8 USPATFULL

Ethanone, 2-[4-(diphenylmethyl)-1-piperazinyl]-1-(5-methyl-2-phenyl-1H-CN imidazol-4-yl) - (9CI) (CA INDEX NAME)

119514-82-8 USPATFULL RN

1-Piperazineethanol, 4-(diphenylmethyl)- $\alpha$ -(5-methyl-2-phenyl-1H-CNimidazol-4-yl)-, trihydrochloride (9CI) (CA INDEX NAME)

#### ●3 HCl

```
L21 ANSWER 5 OF 12 USPATFULL on STN
ΑN
       93:85286 USPATFULL
TI
       Substituted imidazolyl-alkyl-piperazine and -diazepine derivatives
IN
       Pascal, Jean C., Cachan, France
       Lee, Chi-Ho, Palo Alto, CA, United States
       Alps, Brian J., Linlithgow, Scotland
       Pinhas, Henri, Paris, France
       Whiting, Roger L., Los Altos, CA, United States
       Syntex Pharmaceuticals, Ltd., Maidenhead, England (non-U.S. corporation)
PΑ
ΡI
       US---5252736
                               19931012
ΑI
       1991US-0789230
                               19911107 (7)
DCD
       20090225
RLI
       Continuation of Ser. No. 1991US-0652141, filed on 7 Feb 1991, now
       patented, Pat. No. US---5091428 which is a division of Ser. No.
       1990US-0505379, filed on 6 Apr 1990, now patented, Pat. No. US---5010075
       which is a division of Ser. No. 1989US-0313656, filed on 21 Feb 1989,
       now patented, Pat. No. US---4935417 which is a division of Ser. No.
       1987US-0042181, filed on 24 Apr 1987, now patented, Pat. No.
       US---4829065
DT
       Utility
FS
       Granted
EXNAM
      Primary Examiner: Tsang, Cecilia
       Desjardins, Cathleen, Lowin, David A., Moran, Tom M.
LREP
CLMN
       Number of Claims: 7
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 1436
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Substituted imidazolyl-alkyl-piperazine and diazepine derivatives of
AB
       Formula A: ##STR1## wherein: R.sup.1 is aryl, lower alkyl, cycloalkyl or
       hydrogen;
       R.sup.2 is aryl, lower alkyl or hydrogen;
       R.sup.3 is lower alkyl, hydroxy, or hydrogen;
       R.sup.4 is aryl or hydrogen;
       R.sup.5 is aryl or hydrogen;
       m is two or three;
```

n is zero, one or two, provided that when R.sup.3 is hydroxy, n is one or two; and

q is zero, one, two, or three;

and the pharmaceutically acceptable salts thereof, are calcium channel antagonists useful for treating mammals having a variety of disease states, such as stroke, epilepsy, hypertension, angina, migraine, arrhythmia, thrombosis, embolism and also for treatment of spinal injuries.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 119514-74-8P 119514-82-8P

(preparation of, as pharmaceutical)

RN 119514-74-8 USPATFULL

CN Ethanone, 2-[4-(diphenylmethyl)-1-piperazinyl]-1-(5-methyl-2-phenyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 119514-82-8 USPATFULL

CN 1-Piperazineethanol, 4-(diphenylmethyl)- $\alpha$ -(5-methyl-2-phenyl-1H-imidazol-4-yl)-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HCl

```
L21 ANSWER 6 OF 12 USPATFULL on STN
AN
       92:70440 USPATFULL
TI
       Cationic effect-driven carbinol/amine reaction
IN
       Beranger, Serge, Bretigny-Sur-Orge, France
       Francois, Bruno, Sainte Genevieve Des Bois, France
PA
       Laboratoires Syntex, Puteaux, France (non-U.S. corporation)
ΡI
       US---5142052
                               19920825
ΑI
       1988US-0260965
                               19881021 (7)
                           19880422
       1988EP-0303646
PRAI
DT
       Utility
FS
       Granted
       Primary Examiner: Bond, Robert T.; Assistant Examiner: Ward, E. C.
EXNAM
LREP
       Lowin, David A., Moran, Tom M.
CLMN
       Number of Claims: 23
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 713
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Aryl- and heterocyclic-methanamines are prepared by reacting a
AB
       hydroxy-aryl carbinol or a heterocyclic carbinol with a primary or a
       secondary amine in the presence of a cationic effect reagent.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 119514-74-8P 119514-82-8P
        (preparation of, as pharmaceutical)
RN
     119514-74-8 USPATFULL
     Ethanone, 2-[4-(diphenylmethyl)-1-piperazinyl]-1-(5-methyl-2-phenyl-1H-
CN
       imidazol-4-yl) - (9CI) (CA INDEX NAME)
```

RN 119514-82-8 USPATFULL CN 1-Piperazineethanol, 4-(diphenylmethyl)- $\alpha$ -(5-methyl-2-phenyl-1H-imidazol-4-yl)-, trihydrochloride (9CI) (CA INDEX NAME)

#### ●3 HCl

```
L21 ANSWER 7 OF 12 USPATFULL on STN
AN
       92:15054 USPATFULL
TI
       Substituted imidazolyl-alkyl-piperazine and -diazepine derivatives
IN
       Pascal, Jean C., Cachan, France
       Lee, Chi-Ho, Palo Alto, CA, United States
       Alps, Brian J., Linlithgow, Scotland
       Pinhas, Henri, Paris, France
       Whiting, Roger L., Los Altos, CA, United States
       Syntex Pharmaceuticals, Ltd., Hamilton, Bermuda (non-U.S. corporation)
PA
PΙ
       US---5091428
                               19920225
ΑI
       1991US-0652141
                               19910207. (7)
       Division of Ser. No. 1990US-0505379, filed on 6 Apr 1990, now patented,
RLI
       Pat. No. US---5010075 which is a division of Ser. No. 1989US-0313656,
       filed on 21 Feb 1989, now patented, Pat. No. US---4938417 which is a
       division of Ser. No. 1987US-0042181, filed on 24 Apr 1987, now patented,
       Pat. No. US---4829065
DT
       Utility
FS
       Granted
EXNAM Primary Examiner: Shen, Cecilia
LREP
       Lowin, David A., Moran, Tom M.
CLMN
       Number of Claims: 31
ECL
       Exemplary Claim: 1
DRWN
      No Drawings
LN.CNT 1486
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Substituted imidazolyl-alkyl-piperazine and diazepine derivatives of
AB
       Formula A: ##STR1## wherein: R.sup.1 is aryl, lower alkyl, cycloalkyl or
       hydrogen;
       R.sup.2 is aryl, lower alkyl or hydrogen;
       R.sup.3 is lower alkyl, hydroxy, or hydrogen;
       R.sup.4 is aryl or hydrogen;
       R.sup.5 is aryl or hydrogen;
      m is two or three;
      n is zero, one or two, provided that when R.sup.3 is hydroxy, n is one
       or two; and
```

q is zero, one, two, or three;

and the pharmaceutically acceptable salts thereof, are calcium channel antagonists useful for treating mammals having a variety of disease states, such as stroke, epilepsy, hypertension, angina, migraine, arrhythmia, thrombosis, embolism and also for treatment of spinal injuries.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 119514-74-8P 119514-82-8P

(preparation of, as pharmaceutical)

RN 119514-74-8 USPATFULL

CN Ethanone, 2-[4-(diphenylmethyl)-1-piperazinyl]-1-(5-methyl-2-phenyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 119514-82-8 USPATFULL

CN 1-Piperazineethanol, 4-(diphenylmethyl)-α-(5-methyl-2-phenyl-1H-imidazol-4-yl)-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HCl

L21 ANSWER 8 OF 12 USPATFULL on STN

AN 91:68999 USPATFULL

TI Substituted imidazolyl-alkyl-piperazine and -diazepine derivatives

IN Pascal, Jean C., Cachan, France

Lee, Chi-Ho, Palo Alto, CA, United States Alps, Brian J., Linlithgow, Scotland Pinhas, Henri, Paris, France Whiting, Roger L., Los Altos, CA, United States Macfarlane, Calum B., Linlithgow, Scotland Beranger, Serge, Bretigny-Sur-Cedres, France Dow, Robert J., Edinburgh, Scotland Syntex Pharmaceuticals, Ltd., Berkshire, England (non-U.S. corporation) PA ΡI US---5043447 19910827 1988US-0260969 ΑI 19881021 (7) RLI Continuation-in-part of Ser. No. 1987US-0042181, filed on 24 Apr 1987, now patented, Pat. No. US---4829065 PRAI 1988EP-0303646 19880422 DTUtility FS Granted EXNAM Primary Examiner: Shen, Cecilia Lowin, David A., Moran, Tom M. LREP Number of Claims: 11 CLMN ECL Exemplary Claim: 1 DRWN No Drawings LN.CNT 2177 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Substituted imidazolyl-alkyl-piperazine and diazepine derivatives of Formula A: ##STR1## wherein: R.sup.1 is aryl, lower alkyl, cycloalkyl or hydrogen; R.sup.2 is aryl, lower alkyl or hydrogen; R.sup.3 is lower alkyl, hydroxy, or hydrogen; R.sup.4 is aryl or hydrogen; R.sup.5 is aryl or hydrogen; m is two or three; n is zero, one or two, provided that when R.sup.3 is hydroxy, n is one or two; and q is zero, one, two, or three;

and the pharmaceutically acceptable salts thereof, are useful for treating mammals having any of a variety of disease states including:

diseases treated by direct neuronal protection, such as ischemia including focal and global ischemia, spinal injuries, head trauma, and neurological diseases such as Alzheimer's and Huntington's chorea;

diseases treated by inhibition of sodium ion, such as uremic and hyponatremic encephalopathy; and

diseases treated with calcium channel antagonists, including:

diseases treated by inhibiting cerebrovascular vasospasm and by cerebrovascular vasodilation, such as migraine, stroke, vasospasm due to subarachnoid hemorrhage, epilepsy or epileptic psychotic symptoms, and cerebrovascular ischemia induced by cocaine abuse; and

cardiovascular diseases, such as hypertension, angina, stable and unstable angina, Prinzmetal angina, arrhythmia, thrombosis, embolism, and congestive heart failure such as chronic or acute cardiac failure; and

ischemia of lower legs due to peripheral vascular disease, e.g., intermittent claudication;

spasms of smooth muscle: such as the ureter, the bladder, uterine cramps, diuresis, and irritable bowel syndrome; and

uses during surgery: such as bypass grafts, angiography, angioplasty, organ preservation during transplant, hypertensive crisis, or post operative hypertension.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 119514-74-8P 119514-82-8P

(preparation of, as pharmaceutical)

RN 119514-74-8 USPATFULL

CN Ethanone, 2-[4-(diphenylmethyl)-1-piperazinyl]-1-(5-methyl-2-phenyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 119514-82-8 USPATFULL

CN 1-Piperazineethanol, 4-(diphenylmethyl)- $\alpha$ -(5-methyl-2-phenyl-1H-imidazol-4-yl)-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HCl

ΤI

L'21 ANSWER 9 OF 12 USPATFULL on STN

AN 91:32446 USPATFULL

Substituted imidazolyl-alkyl-piperazine and -diazepine derivatives

IN Pascal, Jean C., Cachan, France

Lee, Chi-Ho, Palo Alto, CA, United States Alps, Brian J., Linlithgow, Scotland Pinhas, Henri, Paris, France Whiting, Roger L., Los Altos, CA, United States Syntex Pharmaceuticals Ltd., Berkshire, England (non-U.S. corporation) PA PΙ US---5010075 19910423 1990US-0505379 19900406 (7) ΑI Division of Ser. No. 1989US-0313656, filed on 21 Feb 1989, now patented, RLI Pat. No. US---4935417 which is a division of Ser. No. 1987US-0042181, filed on 24 Apr 1987, now patented, Pat. No. US---4829065 DTUtility FS Granted Primary Examiner: Shah, Mukund J.; Assistant Examiner: Dalton, Philip I. EXNAM Lowin, David A., Moran, Tom M. LREP CLMN Number of Claims: 13 Exemplary Claim: 1,10 ECL DRWN No Drawings LN.CNT 1450 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Substituted imidazolyl-alkyl-piperazine and diazepine derivatives of AB Formula A: ##STR1## wherein: R.sup.1 is aryl, lower alkyl, cycloalkyl or R.sup.2 is aryl, lower alkyl or hydrogen; R.sup.3 is lower alkyl, hydroxy, or hydrogen; R.sup.4 is aryl or hydrogen;

R.sup.5 is aryl or hydrogen;

m is two or three;

 $\ensuremath{\text{n}}$  is zero, one or two, provided that when R.sup.3 is hydroxy,  $\ensuremath{\text{n}}$  is one or two; and

q is zero, one, two, or three;

and the pharmaceutically acceptable salts thereof, are calcium channel antagonists useful for treating mammals having a variety of disease states, such as stroke, epilepsy, hypertension, angina, migraine, arrhythmia, thrombosis, embolism and also for treatment of spinal injuries.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 119514-74-8P 119514-82-8P

(preparation of, as pharmaceutical)

RN 119514-74-8 USPATFULL

CN Ethanone, 2-[4-(diphenylmethyl)-1-piperazinyl]-1-(5-methyl-2-phenyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 119514-82-8 USPATFULL

CN 1-Piperazineethanol, 4-(diphenylmethyl)- $\alpha$ -(5-methyl-2-phenyl-1H-imidazol-4-yl)-, trihydrochloride (9CI) (CA INDEX NAME)

## ●3 HCl

L21 ANSWER 10 OF 12 · USPATFULL on STN AN 90:48802 USPATFULL Sustituted imidazolyl-alkyl-piperazine and -diazepine derivatives for ΤI treating cerebrovascular disease IN Pascal, Jean C., Cachan, France Lee, Chi-Ho, Palo Alto, CA, United States Alps, Brian J., Linlithgow, Scotland Pinhas, Henri, Paris, France Whiting, Roger L., Los Altos, CA, United States Syntex Pharmaceuticals Ltd., Hamilton, Bermuda (non-U.S. corporation) PA PΙ US---4935417 19900619 1989US-0313656 19890221 (7) ΑI Division of Ser. No. 1987US-0042181, filed on 24 Apr 1987, now patented, RLI Pat. No. US---4829065 DTUtility FS Granted EXNAM Primary Examiner: Friedman, Stanley J. Lowin, David A., Moran, Tom M. LREP Number of Claims: 17 CLMN

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1480

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Substituted imidazolyl-alkyl-piperazine and diazepine derivatives of Formula A: ##STR1## wherein: R.sup.1 is aryl, lower alkyl, cycloalkyl or hydrogen;

R.sup.2 is aryl, lower alkyl or hydrogen;

R.sup.3 lower alkyl, hydroxy, or hydrogen;

R.sup.4 aryl or hydrogen;

R.sup.5 aryl or hydrogen;

m is two or three;

n is zero, one or two,

provided that when R.sup.3 hydroxy, n is one or two; and

q is zero, one, two, or three;

and the pharmaceutically acceptable salts thereof, are calcium channel antagonists useful for treating mammals having a variety of disease states, such as stroke, epilipsy, hypertension, angina, migraine, arrhythmia, thrombosis, embolism and also for treatment of spinal injuries.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 119514-74-8P 119514-82-8P

(preparation of, as pharmaceutical)

RN 119514-74-8 USPATFULL

CN Ethanone, 2-[4-(diphenylmethyl)-1-piperazinyl]-1-(5-methyl-2-phenyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 119514-82-8 USPATFULL

CN 1-Piperazineethanol, 4-(diphenylmethyl)-α-(5-methyl-2-phenyl-1H-imidazol-4-yl)-, trihydrochloride (9CI) (CA INDEX NAME)

## ●3 HCl

```
L21 ANSWER 11 OF 12 USPATFULL on STN
AN
       89:36735 USPATFULL
TΤ
       Substituted imidazolyl-alkyl-piperazine and -diazepine derivatives
IN
       Pascal, Jean C., Cachan, France
       Lee, Chi-Ho, Palo Alto, CA, United States
       Alps, Brian J., Linlithgow, Scotland
       Pinhas, Henri, Paris, France
       Whiting, Roger L., Los Altos, CA, United States
       Syntex Pharmaceuticals, Ltd., Maidenhead, England (non-U.S. corporation)
PA
       US---4829065
                               19890509
ΡI
       1987US-0042181
ΑI
                               19870424 (7)
DT
       Utility
FS
       Granted
EXNAM Primary Examiner: Friedman, Stanley J.
LREP
       Lowin, David A., Moran, Tom M.
       Number of Claims: 14
CLMN
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 1470
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Substituted imidazolyl-alkyl-piperazine and diazepine derivatives of
AB
       Formula A: ##STR1## wherein: R.sup.1 is aryl, lower alkyl, cycloalkyl or
       hydrogen;
       R.sup.2 is aryl, lower alkyl or hydrogen;
       R.sup.3 is lower alkyl, hydroxy, or hydrogen;
       R.sup.4 is aryl or hydrogen;
       R.sup.5 is aryl or hydrogen;
       m is two or three;
       n is zero, one or two,
    , provided that when R.sup.3 is hydroxy, n is one or two; and
       q is zero, one, two, or three;
       and the pharmaceutically acceptable salts thereof, are calcium channel
       antagonists useful for treating mammals having a variety of disease
```

states, such as stroke, epilepsy, hypertension, angina, migraine, arrhythmia, thrombosis, embolism and also for treatment of spinal injuries.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 119514-74-8P 119514-82-8P

(preparation of, as pharmaceutical)

RN 119514-74-8 USPATFULL

CN Ethanone, 2-[4-(diphenylmethyl)-1-piperazinyl]-1-(5-methyl-2-phenyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 119514-82-8 USPATFULL

CN 1-Piperazineethanol, 4-(diphenylmethyl)- $\alpha$ -(5-methyl-2-phenyl-1H-imidazol-4-yl)-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HCl

L21 ANSWER 12 OF 12 USPATFULL on STN

AN 88:17835 USPATFULL

TI  $\alpha$ -[5-methyl-2-(pyridinyl)-1H-imidazol-4-yl]substituted-1-

piperazineethanols useful for treating hypertension IN Hofmann, Corris M., Hohokus, NJ, United States Newman, Howard, Monsey, NY, United States

Tomcufcik, Andrew S., Old Tappan, NJ, United States

PA American Cyanamid Company, Stamford, CT, United States (U.S.

corporation) ΡI US---4732895 19880322 ΑI 1986US-0858633 19860502 (6) Utility DT FS Granted EXNAM Primary Examiner: Daus, Donald G.; Assistant Examiner: Shen, Cecilia LREP Conroy, Jr., Edward A. CLMN Number of Claims: 23 ECL Exemplary Claim: 1,21,23 DRWN No Drawings LN.CNT 539 CAS INDEXING IS AVAILABLE FOR THIS PATENT. This disclosure describes novel substituted  $\alpha$ -[5-methyl-2-pyridyl-AB 1H-imidazol-4-yl]-1-piperazineethanols which possess hypotensive activity. CAS INDEXING IS AVAILABLE FOR THIS PATENT. IT 115328-37-5P 115328-38-6P 115328-39-7P 115328-40-0P 115328-41-1P 115328-42-2P 115328-43-3P 115328-44-4P 115328-45-5P 115328-46-6P 115328-47-7P 115328-48-8P 115328-49-9P 115328-50-2P 115328-53-5P 115342-16-0P 115342-17-1P 115342-18-2P 115361-61-0P (preparation of, as antihypertensive) RN 115328-37-5 USPATFULL 1-Piperazineethanol,  $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-4-CN phenyl- (9CI) (CA INDEX NAME)

RN 115328-38-6 USPATFULL

CN 1-Piperazineethanol, 4-(4-fluorophenyl)- $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\stackrel{\text{N}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{CH}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{CH}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{CH}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{N}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}} \stackrel{\text{OH}}{\underset{\text{N}}}$$

RN 115328-39-7 USPATFULL

CN 1-Piperazineethanol, α-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-4[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\stackrel{\text{N}}{\underset{\text{N}}{\bigvee}} \stackrel{\text{OH}}{\underset{\text{CH-}}{\bigvee}} \stackrel{\text{OH}}{\underset{\text{CH-}}{\bigvee}} \stackrel{\text{OH}}{\underset{\text{CF3}}{\bigvee}} \stackrel{\text{OH}}{\underset{\text{CH}}} \stackrel{\text{OH}}{\underset{$$

RN 115328-40-0 USPATFULL

CN 1-Piperazineethanol, 4-(2-methoxyphenyl)- $\alpha$ -[5-methyl-2-(3-pyridinyl)-/1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

- RN 115328-41-1 USPATFULL
- CN 1-Piperazineethanol, 4-(4-chlorophenyl)- $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

- RN 115328-42-2 USPATFULL
- CN 1-Piperazineethanol, 4-(4-methoxyphenyl)- $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

- RN 115328-43-3 USPATFULL
- CN 1-Piperazineethanol,  $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-4-(2-thiazolyl)- (9CI) (CA INDEX NAME)

- RN 115328-44-4 USPATFULL
- CN 1-Piperazineethanol, 4-(2-chlorophenyl)-α-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115328-45-5 USPATFULL

CN 1-Piperazineethanol,  $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-4-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & OH \\ N & CH-CH_2-N \\ Me & N \end{array}$$

RN 115328-46-6 USPATFULL

CN 1-Piperazineethanol, 4-(2-methylphenyl)-α-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115328-47-7 USPATFULL

CN 1-Piperazineethanol, 4-(3-methylphenyl)-α-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & OH \\ N & CH-CH_2-N \\ Me & \\ \end{array}$$

RN 115328-48-8 USPATFULL

CN 1-Piperazineethanol, 4-(4-fluorophenyl)-α-[5-methyl-2-(2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115328-49-9 USPATFULL

CN 1-Piperazineethanol, 4-(4-fluorophenyl)- $\alpha$ -[5-methyl-2-(4-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115328-50-2 USPATFULL

CN 1-Piperazineethanol,  $4-(4-fluorophenyl)-\alpha-methyl-\alpha-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)$ 

RN 115328-53-5 USPATFULL

CN 1-Piperazineethanol,  $4-(4-fluorophenyl)-\alpha-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-, (R*,R*)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)$ 

CM 1

CRN 115328-38-6 CMF C21 H24 F N5 O

$$\stackrel{\text{N}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{OH}}{\underset{\text{CH}}{\longrightarrow}} \text{CH-CH}_2 - \stackrel{\text{N}}{\underset{\text{N}}{\longrightarrow}} \text{N}$$

CM 2

CRN 133-37-9 CMF C4 H6 O6

Relative stereochemistry.

RN 115342-16-0 USPATFULL

CN 1-Piperazineethanol, 4-(2-chloro-10,11-dihydrodibenz[b,f][1,4]oxazepin-11-yl)- $\alpha$ -[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA

INDEX NAME)

RN 115342-17-1 USPATFULL

CN 1-Piperazineethanol, 4-(3-chlorophenyl)-α-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115342-18-2 USPATFULL

CN 1-Piperazineethanol, 4-(4-fluorophenyl)- $\alpha$ -[5-methyl-2-(3-methyl-4-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115361-61-0 USPATFULL

CN 1-Piperazineethanol, 4-(4-fluorophenyl)-α-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-, (2R,3R)-2,3-dihydroxybutanedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 115328-38-6 CMF C21 H24 F N5 O

$$\stackrel{\text{H}}{\underset{\text{N}}{\bigvee}} \stackrel{\text{OH}}{\underset{\text{CH}}{\bigvee}} = \text{CH}_2 - \text{CH}_2 - \text{N}$$

CM 2

CRN 87-69-4 CMF C4 H6 O6 CDES 1:R2:R\*,R\*

Absolute stereochemistry.

$$\begin{array}{c|c} N & H & O \\ N & C - CH_2 - N \\ N & Me \end{array}$$

RN 115328-55-7 USPATFULL CN Ethanone, 2-[4-(4-fluorophenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

RN 115328-56-8 USPATFULL
CN Ethanone, 1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-2-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 115328-57-9 USPATFULL

CN Ethanone, 2-[4-(2-methoxyphenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & O \\ \hline N & C & CH_2 & N \\ \hline N & Me & MeO \\ \end{array}$$

RN 115328-58-0 USPATFULL

CN Ethanone, 2-[4-(4-methoxyphenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & O \\ N & C & CH_2 & N \\ N & Me & O \\ \end{array}$$

RN 115328-59-1 USPATFULL

CN Ethanone, 2-[4-(4-chlorophenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1+-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & O \\ \hline N & C & CH_2 \\ \hline \end{array}$$
 Me 
$$\begin{array}{c|c} CH_2 & N \\ \hline \end{array}$$

RN 115328-60-4 USPATFULL

CN Ethanone, 2-[4-(3-chlorophenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1+-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & O \\ \hline & N & C & CH_2 & N \\ \hline & Me & C & CH_2 & C \\ \end{array}$$

RN 115328-61-5 USPATFULL

CN Ethanone, 2-[4-(2-chloro-10,11-dihydrodibenz[b,f][1,4]oxazepin-11-yl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA

INDEX NAME)

RN 115328-62-6 USPATFULL

CN Ethanone, 2-[4-(2-chlorophenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

RN 115328-63-7 USPATFULL

CN Ethanone, 1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-2-[4-(2-pyrimidinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & O \\ \hline N & N & C - CH_2 - N & N \\ \hline Me & N & N \\ \end{array}$$

RN 115328-64-8 USPATFULL

CN Ethanone, 2-[4-(4-fluorophenyl)-1-piperazinyl]-1-[5-methyl-2-(3-methyl-4-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115328-65-9 USPATFULL

CN Ethanone, 2-[4-(4-fluorophenyl)-1-piperazinyl]-1-[5-methyl-2-(2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 115328-66-0 USPATFULL

CN Ethanone, 2-[4-(4-fluorophenyl)-1-piperazinyl]-1-[5-methyl-2-(4-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

$$\bigcap_{N} \bigcap_{N} \bigcap_{C-CH_2-N} \bigcap_{N} \bigcap_{F}$$

RN 115328-67-1 USPATFULL

CN Ethanone, 2-[4-(2-methylphenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1+imidazol-4-yl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & O \\ \hline N & C & CH_2 & N \\ \hline Me & Me \\ \end{array}$$

RN 115342-19-3 USPATFULL

CN Ethanone, 1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]-2-[4-(2-thiazolyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 115342-20-6 USPATFULL

CN Ethanone, 2-[4-(3-methylphenyl)-1-piperazinyl]-1-[5-methyl-2-(3-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

=> d his

```
(FILE 'HOME' ENTERED AT 13:54:06 ON 18 SEP 2006)
```

```
FILE 'HCAPLUS' ENTERED AT 13:54:13 ON 18 SEP 2006
              1 (US2003-702149 OR US2002-432911#)/AP, PRN OR US2004122074
Ll
                E DOW R/AU
             80 E3,E8,E22,E25-27
L2
                E DOW B/AU
              5 E3, E6
L3
                E HAMMOND M/AU
            135 E3-17
                E HAMMOND MARLYS/AU
L5
             39 E3
L6
          12609 PFIZER/CS, PA
     FILE 'REGISTRY' ENTERED AT 13:57:30 ON 18 SEP 2006
     FILE 'HCAPLUS' ENTERED AT 13:57:30 ON 18 SEP 2006
L7
                TRA L1 1- RN :
                                    324 TERMS
     FILE 'REGISTRY' ENTERED AT 13:57:30 ON 18 SEP 2006
L8
            324 SEA L7
            315 L8 AND (NCNC2 OR N2C3)/ES
L9
L10
                STR
L11
              1 L10
        1309520 (NCNC2 OR N2C3)/ES
L12
              0 L10 SAM SUB=L12
L13
                SCR 1994 AND 1839
L14
              0 L10 AND L14 SAM SUB=L12
L15
            347 L10 AND L14 FULL SUB=L12
L16
L17
            291 L16 AND L8
                SAV TEM L16 SAC149F0/A
     FILE 'HCAPLUS' ENTERED AT 14:34:10 ON 18 SEP 2006
L18
              4 L16
L19
              2 L18 AND L1-6
L20
              2 L18 NOT L19
     FILE 'USPATFULL, USPAT2' ENTERED AT 14:34:39 ON 18 SEP 2006
L21
     FILE 'HCAOLD' ENTERED AT 14:35:34 ON 18 SEP 2006
```

=>

L22

0 L16